

STIC-EIC1600/2900

365076

From: STIC-EIC1600/2900@uspto.gov

Sent: Thursday, May 26, 2011 10:44 AM

To: Wood, Craig D

Cc: STIC-EIC1600/2900

Subject: Confirmation Receipt: 1600 Search Request - 10/598,281

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Thank you for using STIC services.

Requester

Name: **RICCI, CRAIG D**Organization: **TC 1600**Art Unit: **1628**

Employee Number:

Office Location: **REM-4A05**Phone Number: **(571)270-5864**Email: craig.ricci@uspto.gov

Request Detail

Attachment: No

Case/Application number: **10/598,281 PALL**

Priority App. Filing Date:

Format for Search Results: **SCORE & EMAIL**

Meaning of unusual acronyms or initials:

Identify the novelty:

Additional Comments:

Please search the compound of claim 1? (Examples 1-20 in the Specification) in the claimset filed 9/28/2007. Thank you.

Request Date: Thursday, May 26, 2011 10:44 AM

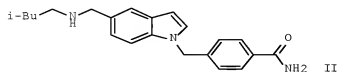
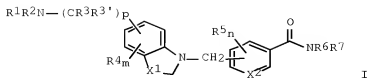
5/26/2011

INVENTOR SEARCH

=> d ibib abs hitstr 16 1-3

L6 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2005:1042216 HCAPLUS Full-text
 DOCUMENT NUMBER: 143:347050
 TITLE: Preparation of
 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide
 derivatives as opioid receptor antagonists for the
 treatment of obesity
 INVENTOR(S): Benesh, Dana Rae; Blanco-Pillado,
 Maria-Jesus
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 52 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005090303	A1	20050929	WO 2005-US7702	20050309
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2558030	A1	20050929	CA 2005-2558030	20050309
EP 1751103	A1	20070214	EP 2005-725070	20050309
EP 1751103	B1	20090114		
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR			
JP 2007529523	T	20071025	JP 2007-503959	20050309
AT 420858	T	20090115	AT 2005-725070	20050309
ES 2318472	T3	20090501	ES 2005-725070	20050309
US 20070155793	A1	20070705	US 2006-598281	20060823
PRIORITY APPLN. INFO.:			US 2004-553176P	P 20040315
			WO 2005-US7702	W 20050309
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S): CASREACT 143:347050; MARPAT 143:347050				
GI				



AB Title compds. represented by the formula I [wherein X1 = CH2, CH or N; X2 = CH or N; R1, R2 = independently H, alkyl(aryl), alkenyl, etc.; R3, R3' = independently H, alkyl, alkynyl, etc.; R4, R5 = independently H, (halo)alkyl, aryl, etc.; m = 0-2; n = 0-2; p = 0-2; and pharmaceutically acceptable salts, solvates, prodrugs, enantiomers, racemates, diastereomers and diastereomeric mixture thereof] were prepared as opioid receptor antagonists. For example, II was provided in a multi-step synthesis starting from the reaction of 5-formylindole with 4-bromomethylbenzonitrile. I were tested for antagonistic activity of μ -, γ - and δ -opioid receptor in SPA-based GTPyS binding assay, and their pharmaceutical formulations were also presented. Thus, I and their pharmaceutical compns. are useful as opioid receptor antagonists for the treatment of obesity (no data).

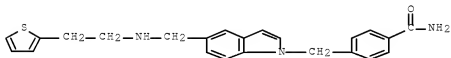
IT 865542-83-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

RN 865542-83-2 HCAPLUS

CN Benzamide, 4-[[5-[[2-(2-thienyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]-
(CA INDEX NAME)



IT	865542-80-9P	865542-82-1P	865542-84-3P
	865542-85-4P	865542-86-5P	865542-87-6P
	865542-88-7P	865542-89-8P	865542-90-1P
	865542-91-2P	865542-92-3P	865542-93-4P
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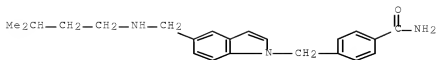
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

RN 865542-80-9 HCAPLUS

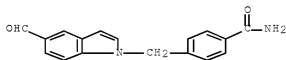
CN Benzamide, 4-[[5-[[3-methylbutyl]amino]methyl]-1H-indol-1-yl]methyl]-

(CA INDEX NAME)



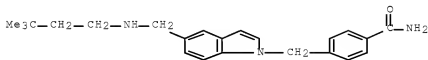
RN 865542-82-1 HCAPLUS

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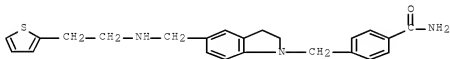
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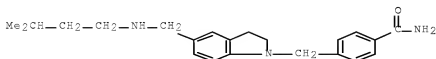
RN 865542-85-4 HCAPLUS

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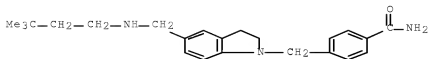
RN 865542-86-5 HCAPLUS

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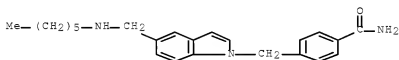
RN 865542-87-6 HCAPLUS

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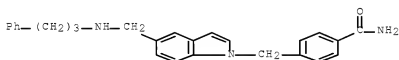
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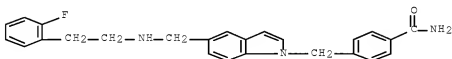
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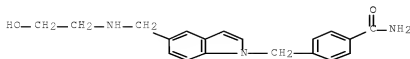
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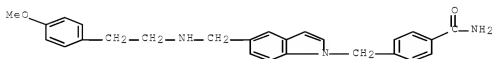
RN 865542-91-2 HCAPLUS

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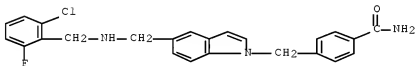
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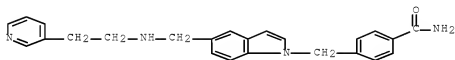
RN 865542-93-4 HCAPLUS

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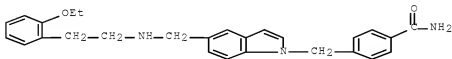
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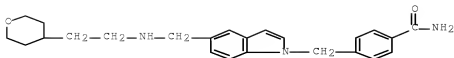
RN 865542-95-6 HCAPLUS

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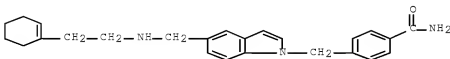
RN 865542-96-7 HCAPLUS

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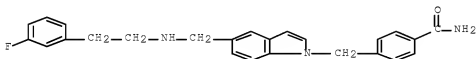
RN 865542-97-8 HCAPLUS

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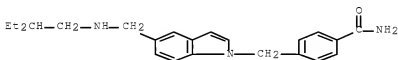
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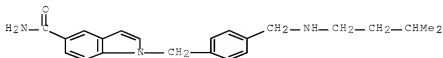
RN 865542-99-0 HCAPLUS

CN Benamide, 4-[[5-[[[2-(2-ethylbutyl)amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



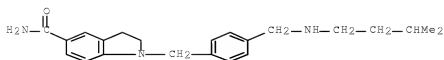
RN 865543-00-6 HCAPLUS

CN 1H-Indole-5-carboxamide, 1-[[4-[[[3-methylbutyl]amino]methyl]phenyl]methyl]- (CA INDEX NAME)

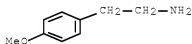


RN 865543-03-9 HCAPLUS

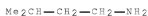
CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-[[4-[[[3-methylbutyl]amino]methyl]phenyl]methyl]- (CA INDEX NAME)



IT 55-81-2 107-85-7, Isoamylamine 111-26-2,
 1-Hexanamine 404-70-6 617-79-8 1196-69-6
 , 5-Formylindole 2038-57-5, Benzenepropanamine
 3399-73-3, 1-Cyclohexene-1-ethanamine 15205-15-9
 15673-00-4 15861-24-2, 1H-Indole-5-carbonitrile
 17201-43-3, 4-Bromomethylbenzonitrile 20173-24-4,
 3-Pyridineethanamine 30433-91-1, 2-Thiopheneethanamine
 39590-27-7 51359-78-5 52721-69-4
 65412-03-5
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as
 opioid receptor antagonists for treatment of obesity)
 RN 55-81-2 HCAPLUS
 CN Benzeneethanamine, 4-methoxy- (CA INDEX NAME)



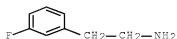
RN 107-85-7 HCAPLUS
 CN 1-Butanamine, 3-methyl- (CA INDEX NAME)



RN 111-26-2 HCAPLUS
 CN 1-Hexanamine (CA INDEX NAME)



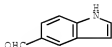
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 CN Benzeneethanamine, 3-fluoro- (CA INDEX NAME)



RN 617-79-8 HCAPLUS
 CN 1-Butanamine, 2-ethyl- (CA INDEX NAME)



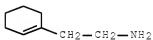
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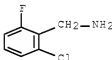
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 CN Benzenepropanamine (CA INDEX NAME)



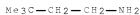
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 CN 1-Cyclohexene-1-ethanamine (CA INDEX NAME)



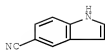
RN 15205-15-9 HCAPLUS
 CN Benzenemethanamine, 2-chloro-6-fluoro- (CA INDEX NAME)



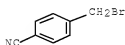
RN 15673-00-4 HCAPLUS
 CN 1-Butanamine, 3,3-dimethyl- (CA INDEX NAME)



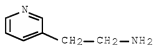
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 CN 1H-Indole-5-carbonitrile (CA INDEX NAME)



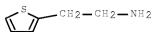
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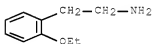
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 CN 3-Pyridineethanamine (CA INDEX NAME)



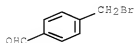
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 CN 2-Thiopheneethanamine (CA INDEX NAME)



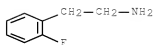
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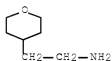


RN 52721-69-4 HCAPLUS
 CN Benzeneethanamine, 2-fluoro- (CA INDEX NAME)



RN 65412-03-5 HCAPLUS

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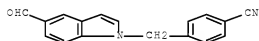
IT 865542-81-0P 865543-01-7P 865543-02-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

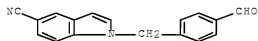
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CN Benzonitrile, 4-[(5-formyl-1H-indol-1-yl)methyl]- (CA INDEX NAME)



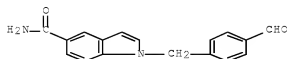
RN 865543-01-7 HCAPLUS

CN 1H-Indole-5-carbonitrile, 1-[(4-formylphenyl)methyl]- (CA INDEX NAME)



RN 865543-02-8 HCAPLUS

CN 1H-Indole-5-carboxamide, 1-[(4-formylphenyl)methyl]- (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2011 ACS on STN

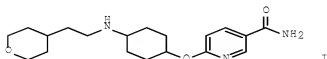
ACCESSION NUMBER: 2005:588876 HCAPLUS [Full-text](#)
 DOCUMENT NUMBER: 143:115448
 TITLE: Nicotinamide derivatives preparation as opioid
 receptor antagonists
 INVENTOR(S): Benesh, Dana Rae; Blanco-Phillado,
 Maria-Jesus
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 61 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061442	A1	20050707	WO 2004-US38227	20041206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004303790	A1	20050707	AU 2004-303790	20041206
CA 2549009	A1	20050707	CA 2004-2549009	20041206
EP 1697307	A1	20060906	EP 2004-811079	20041206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1890208	A	20070103	CN 2004-80036471	20041206
JP 2007516256	T	20070621	JP 2006-543831	20041206
BR 2004017156	A	20070306	BR 2004-17156	20041216
US 20070010558	A1	20070111	US 2006-581164	20060531
US 7196100	B2	20070327		
MX 2006006614	A	20060731	MX 2006-6614	20060609
IN 2006DN03866	A	20070713	IN 2006-DN3866	20060705
PRIORITY APPLN. INFO.:			US 2003-529061P	P 20031212
			WO 2004-US38227	W 20041206

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:115448; MARPAT 143:115448

GI



AB Nicotinamide derivs. were prepd. for use in the treatment, prevention or amelioration of obesity and related diseases. E.g., I was prepared starting from 3,3-dimethyl-1,5-dioxaspiro[5.5]undecan-9-one through a number of

reaction sequences. I and a number of other derivs. were tested with the GTP-
 γ-S binding assay and ex vivo receptor binding.

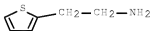
IT 107-85-7 2038-57-5, 3-Phenylpropylamine
 30433-91-1, 2-Thiopheneethanamine 65412-03-5,
 2-(Tetrahydro-4-pyranyl)ethylamine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (nicotinamide derivs. preparation as opioid receptor antagonists)
 RN 107-85-7 HCAPLUS
 CN 1-Butanamine, 3-methyl- (CA INDEX NAME)



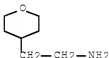
RN 2038-57-5 HCAPLUS
 CN Benzenepropanamine (CA INDEX NAME)



RN 30433-91-1 HCAPLUS
 CN 2-Thiopheneethanamine (CA INDEX NAME)



RN 65412-03-5 HCAPLUS
 CN 2H-Pyran-4-ethanamine, tetrahydro- (CA INDEX NAME)

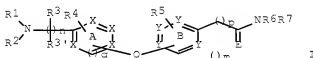


OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
 (5 CITINGS)
 REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2004:780689 HCAPLUS Full-text
 DOCUMENT NUMBER: 141:295846
 TITLE: Preparation of substituted thiophene-based opioid
 receptor antagonists
 INVENTOR(S): Blanco-Pillado, Maria Jesus; Benesh,
 Dana Rae; Mitch, Charles Howard; Tackeuchi,
 Kumiko
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 65 pp.
 CODEN: PIXXD2

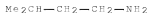
DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080996	A1	20040923	WO 2004-US3368	20040301
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004220113	A1	20040923	AU 2004-220113	20040301
CA 2513791	A1	20040923	CA 2004-2513791	20040301
EP 1606275	A1	20051221	EP 2004-716082	20040301
EP 1606275	B1	20080827		
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BR 2004008108	A	20060301	BR 2004-8108	20040301
CN 1753884	A	20060329	CN 2004-80005029	20040301
JP 2006519855	T	20060831	JP 2006-508678	20040301
AT 406360	T	20080915	AT 2004-716082	20040301
ES 2312972	T3	20090301	ES 2004-716082	20040301
US 20060166987	A1	20060727	US 2005-544286	20050802
US 7396943	B2	20080708		
IN 2005KN01606	A	20061208	IN 2005-KN1606	20050811
PRIORITY APPLN. INFO.:			US 2003-453243P	P 20030307
			WO 2004-US3368	W 20040301
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S):		MARPAT 141:295846		
GI				

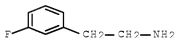


- AB Title compds. I [K = O, one of X or Y = S, O and the others are selected from C, CH or N with provisions; q, m = 0-1; n = 0-3; p = 0-2; E = O, NH; R1-2 = H, alk(en/yn)yl, Ph, etc.; R3-3' = H, alk(en/yn)yl, etc.; R4-5 (taken 0-3 times) = H, alk(en/yn)yl, alkoxy, halo, etc.; R6-7 = H, alk(en/yn)yl, OH, etc.] are prepared. For instance, 4-[(5-((phenethylamino)methyl)thiophene-2-yl)oxy]benzamide (II) is prepared in several steps from 4-((5-formylthiophene-2-yl)oxy)benzonitrile (preparation given). II has Kb = 0.6 nM for the μ -opioid receptor, 4.6 nM for the κ -opioid receptor and 3.3 nM for the δ -opioid receptor. I are useful for the treatment of, e.g., diabetes, hyperlipidemia, etc.
- IT 107-85-7, 3-Methylbutylamine 404-70-6,

2-(3-Fluorophenyl)ethylamine 15673-00-4,
 3,3-Dimethylbutylamine 30433-91-1, 2-(Thiophen-2-yl)ethylamine
 65412-03-5, 2-(Tetrahydropyran-4-yl)ethylamine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of substituted thiophene-based opioid receptor antagonists)
 RN 107-85-7 HCAPLUS
 CN 1-Butanamine, 3-methyl- (CA INDEX NAME)



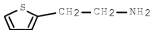
RN 404-70-6 HCAPLUS
 CN Benzeneethanamine, 3-fluoro- (CA INDEX NAME)



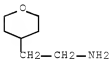
RN 15673-00-4 HCAPLUS
 CN 1-Butanamine, 3,3-dimethyl- (CA INDEX NAME)



RN 30433-91-1 HCAPLUS
 CN 2-Thiopheneethanamine (CA INDEX NAME)



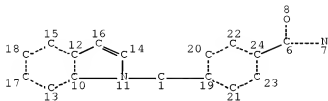
RN 65412-03-5 HCAPLUS
 CN 2H-Pyran-4-ethanamine, tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
 (3 CITINGS)
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

RESULTS FROM SEARCHES IN REGISTRY, CAPLUS, AND REAXYSFILE

=> d que stat l17
L7 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

L9 362 SEA FILE=REGISTRY SSS FUL L7
L10 55 SEA FILE=HCAPLUS ABB=ON L9
L11 2 SEA FILE=HCAPLUS ABB=ON L10 AND ?OBES?
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L16 1 SEA FILE=HCAPLUS ABB=ON L15
L17 2 SEA FILE=HCAPLUS ABB=ON L11 OR L16

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L17 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:1042216 HCAPLUS Full-text

DOCUMENT NUMBER: 143:347050

TITLE: Preparation of
4-(5-(aminomethyl)indole-1-ylmethyl)benzamide
derivatives as opioid receptor antagonists for the
treatment of obesity

INVENTOR(S): Benesh, Dana Rae; Blanco-Pillado, Maria-Jesus

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

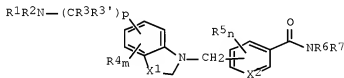
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

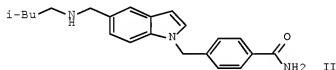
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005090303	A1	20050929	WO 2005-US7702	20050309
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2558030	A1	20050929	CA 2005-2558030	20050309
EP 1751103	A1	20070214	EP 2005-725070	20050309
EP 1751103	B1	20090114		
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JP 2007529523	T	20071025	JP 2007-503959	20050309
AT 420858	T	20090115	AT 2005-725070	20050309
ES 2318472	T3	20090501	ES 2005-725070	20050309
US 20070155793	A1	20070705	US 2006-598281	20060823
PRIORITY APPLN. INFO.:			US 2004-553176P	P 20040315
			WO 2005-US7702	W 20050309
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S): CASREACT 143:347050; MARPAT 143:347050				
GI				



I



II

AB Title compds. represented by the formula I [wherein X1 = CH2, CH or N; X2 = CH or N; R1, R2 = independently H, alkyl(aryl), alkenyl, etc.; R3, R3' = independently H, alkyl, alkynyl, etc.; R4, R5 = independently H, (halo)alkyl, aryl, etc.; m = 0-2; n = 0-2; p = 0-2; and pharmaceutically acceptable salts, solvates, prodrugs, enantiomers, racemates, diastereomers and diastereomeric mixture thereof] were prepared as opioid receptor antagonists. For example, II was provided in a multi-step synthesis starting from the reaction of 5-formylindole with 4-bromomethylbenzonitrile. I were tested for antagonistic activity of μ -, γ - and δ -opioid receptor in SPA-based GTP γ S binding assay, and their pharmaceutical formulations were also presented. Thus, I and their pharmaceutical compns. are useful as opioid receptor antagonists for the treatment of obesity (no data).

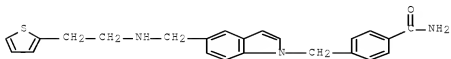
IT 865542-83-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

RN 865542-83-2 HCAPLUS

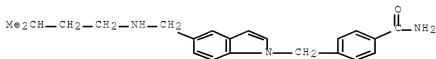
CN Benzamide, 4-[[5-[[[2-(2-thienyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]-
(CA INDEX NAME)

IT	865542-80-9P	865542-82-1P	865542-84-3P
	865542-85-4P	865542-86-5P	865542-87-6P
	865542-88-7P	865542-89-8P	865542-90-1P
	865542-91-2P	865542-92-3P	865542-93-4P
	865542-94-5P	865542-95-6P	865542-96-7P
	865542-97-8P	865542-98-9P	865542-99-0P
	865543-00-6P	865543-03-9P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

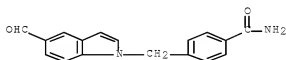
(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

RN 865542-80-9 HCAPLUS

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(CA INDEX NAME)

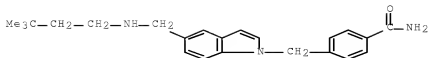
RN 865542-82-1 HCAPLUS

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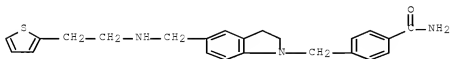
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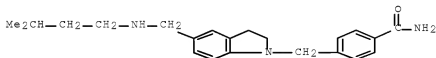
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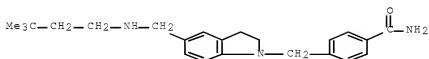
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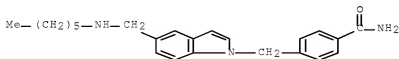
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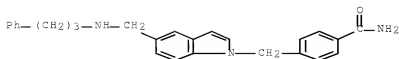
RN 865542-88-7 HCAPLUS

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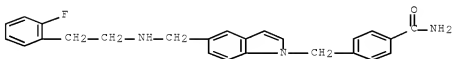
RN 865542-89-8 HCAPLUS

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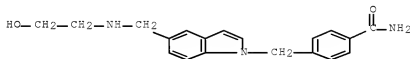
RN 865542-90-1 HCAPLUS

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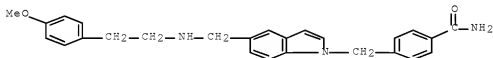
RN 865542-91-2 HCAPLUS

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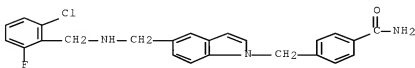
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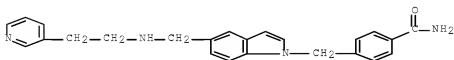
RN 865542-93-4 HCAPLUS

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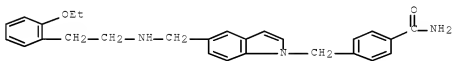
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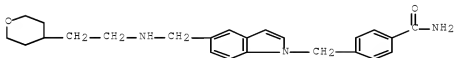
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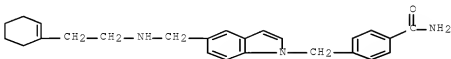
RN 865542-96-7 HCAPLUS

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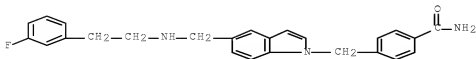
RN 865542-97-8 HCAPLUS

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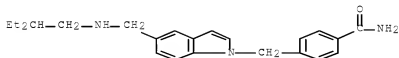


RN 865542-98-9 HCAPLUS

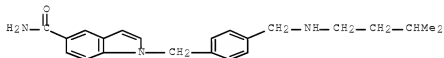
CN Benamide, 4-[[5-[[[2-(3-fluorophenyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



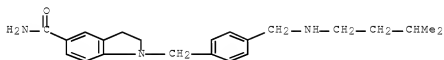
RN 865542-99-0 HCAPLUS
 CN Benzamide, 4-[[5-[[[(2-ethylbutyl)amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 865543-00-6 HCAPLUS
 CN 1H-Indole-5-carboxamide, 1-[[4-[[[(3-methylbutyl)amino]methyl]phenyl]methyl]- (CA INDEX NAME)



RN 865543-03-9 HCAPLUS
 CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-[[4-[[[(3-methylbutyl)amino]methyl]phenyl]methyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2004:606440 HCAPLUS [Full-text](#)
 DOCUMENT NUMBER: 141:157124
 TITLE: Preparation of novel indole derivatives as cytoplasmic fatty acid binding protein FABP-4 inhibitors
 INVENTOR(S): Barf, Tjeerd; Hammer, Kristin; Luthman, Marguerite; Lehmann, Fredrik; Ringom, Rune
 PATENT ASSIGNEE(S): Biovitrum Ab, Swed.
 SOURCE: PCT Int. Appl., 136 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

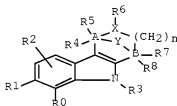
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063156	A1	20040729	WO 2004-SE5	20040108

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
 CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
 GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI

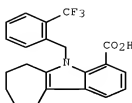
PRIORITY APPLN. INFO.: SE 2003-14 A 20030108
 US 2003-462476P P 20030411

OTHER SOURCE(S): MARPAT 141:157124

GI



I



II

AB The present invention relates to novel compds. (I) [wherein one of R0 and R1 is CO2H, CO2Me, CH2OH, CONHOH, NHSO2-C1-6-alkyl, or -NHSO2Ar (wherein Ar = Ph, naphthyl, pyrrole, imidazole, thiophene, furan, thiazole, isothiazole, thiadiazole, oxazole, isoxazole, oxadiazole, pyridine, pyrazine, pyrimidine, etc.), and the other of R0 is H or Me; R2 = H; R3 = H, CO-C1-6-alkyl, SO2-C1-6 alkyl, CH(R11)(CH2)mZ (wherein R11 = H, C1-6 alkyl; m = 1-4; Z = H, cyano, CO2H, COC, or (un)substituted CONH2); R3 = Q (wherein Ar is as defined above); R9, R10 = H, m3, OMe, F, Br, Cl, CF3, CO2H, NO2, NHCO-C1-6 alkyl, CN, CONH2, OH, SMe, SO2Me, SO2CF3, OCF3, SCF3, OPh; n = 0-2; R4, R5 = H or absent, or R4 and R5 taken together = NOH, :O-CH2-Ph; R6 = H, Me, COMe, absent; A, B = a carbon atom not substituted by oxo, CH, Ph group; X = CH, N or absent; Y = CH2 or absent; R7, R8 = H, COCF3, SO2-C1-6 alkyl, absent] or pharmaceutically acceptable salts, solvates, hydrates, geometrical isomers, tautomers, optical isomers, N-oxides and prodrug forms thereof and also to pharmaceutical compns. comprising the compds., as well as to the use of the compds. in medicine and for the preparation of a medicament, which acts on the fatty acid binding protein FABP-4. These compds. are useful for the prophylaxis or treatment of disorders acting on the fatty acid binding protein FABP-4 which are selected from type 2 diabetes, hyperglycemia, hyperlipidemia, hyperinsulinemia, obesity, atherosclerosis, other chronic antiinflammatory and autoimmune/inflammatory diseases, and chronic heart disease. Thus, powdered KOH (0.50 g, 8.91 mmol) was added to a solution of 5,6,7,8,9,10-hexahydrocyclohepta[b]indole-4-carboxylic acid Me ester in DMSO (5 mL), stirred for 5 min, treated with 2-trifluoromethylbenzyl bromide (844 mg, 3.35 mmol), stirred for 10 min before quenching with saturate NH4Cl, and extracted with Et2O to give, after purification by flash chromatog., 224 mg (58%) 5-[2-(trifluoromethyl)benzyl]-5,6,7,8,9,10-hexahydrocyclohepta[b]indole-4-carboxylic acid (II). II inhibited the binding of a [3H]-labeled ligand to human FABP-4(His)8 with Ki of 49 nM.

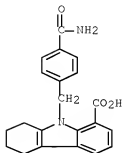
II 729613-82-5P, 9-[4-(Aminocarbonyl)benzyl]-2,3,4,9-tetrahydro-1H-carbazole-8-carboxylic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel indole derivs. as cytoplasmic fatty acid binding protein FABP-4 inhibitors for prophylaxis or treatment of disorders acting on FABP-4)

RN 729613-82-5 HCAPLUS

CN 1H-Carbazole-8-carboxylic acid, 9-[[4-(aminocarbonyl)phenyl)methyl]-
2,3,4,9-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT:	3	THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT:	9	THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

SEARCH HISTORY

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(FILE 'HOME' ENTERED AT 15:23:38 ON 01 JUN 2011)

FILE 'HCAPLUS' ENTERED AT 15:23:43 ON 01 JUN 2011

E BENESH DANA RAE/AU
 L1 12 SEA ABB=ON ("BENESH DANA R"/AU OR "BENESH DANA RAE"/AU)
 E BLANCO PILLADO MARIA JESUS/AU
 L2 7 SEA ABB=ON "BLANCO PILLADO MARIA JESUS"/AU
 L3 6 SEA ABB=ON L1 AND L2
 L4 3 SEA ABB=ON L3 AND OBES?
 SELECT RN L4 1

FILE 'REGISTRY' ENTERED AT 15:24:38 ON 01 JUN 2011

L5 42 SEA ABB=ON (107-85-7/BI OR 111-26-2/BI OR 1196-69-6/BI OR
 15205-15-9/BI OR 15673-00-4/BI OR 15861-24-2/BI OR 17201-43-3/B
 I OR 20173-24-4/BI OR 2038-57-5/BI OR 30433-91-1/BI OR
 3399-73-3/BI OR 39590-27-7/BI OR 404-70-6/BI OR 51359-78-5/BI
 OR 52721-69-4/BI OR 55-81-2/BI OR 617-79-8/BI OR 65412-03-5/BI
 OR 865542-80-9/BI OR 865542-81-0/BI OR 865542-82-1/BI OR
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 -6/BI OR 865543-01-7/BI OR 865543-02-8/BI OR 865543-03-9/BI)

FILE 'HCAPLUS' ENTERED AT 15:24:44 ON 01 JUN 2011

L6 3 SEA ABB=ON L4 AND L5

FILE 'REGISTRY' ENTERED AT 15:43:56 ON 01 JUN 2011

L7 STRUCTURE 865542-98-9
 L8 18 SEA SSS SAM L7
 L9 362 SEA SSS FUL L7

FILE 'HCAPLUS' ENTERED AT 15:44:33 ON 01 JUN 2011

L10 55 SEA ABB=ON L9
 L11 2 SEA ABB=ON L10 AND ?OBES?

FILE 'REGISTRY' ENTERED AT 15:45:19 ON 01 JUN 2011

L12 18 SEA ABB=ON (865542-80-9 OR 8865542-83-2 OR 865542-84-3 OR
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 L13 19 SEA ABB=ON (865542-80-9 OR 865542-83-2 OR 865542-84-3 OR
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 865542-97-8 OR 865542-98-9 OR 865542-99-0 OR 865543-03-9)/RN
 L14 1 SEA ABB=ON 865543-00-6/RN
 L15 20 SEA ABB=ON L13 OR L14

FILE 'HCAPLUS' ENTERED AT 15:47:56 ON 01 JUN 2011

L16 1 SEA ABB=ON L15
 L17 2 SEA ABB=ON L11 OR L16

FILE 'REAXYSFILE' ENTERED AT 16:14:54 ON 01 JUN 2011

L18 51 SEA ABB=ON L9
L19 0 SEA ABB=ON L10 AND ?OBES?
L20 0 SEA ABB=ON L15

FILE 'HCAPLUS' ENTERED AT 16:15:43 ON 01 JUN 2011

SAV L10 RIC281L10/A
SAV L7 RIC281L7/L

FILE HOME

FILE HCAPLUS

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FILE COVERS 1907 - 1 Jun 2011 VOL 154 ISS 23
FILE LAST UPDATED: 30 May 2011 (20110530/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2011
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2011

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 31 MAY 2011 HIGHEST RN 1303643-78-8
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FILE REAXYSFILE

FILE LAST UPDATED ON September 30, 2010

FILE COVERS 1771 TO 2009.

FILE CONTAINS 10,864,115 SUBSTANCES

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